Attorney's Docket No. 030560-068

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of	
Andreas BERNKOP-SCHNURCH	Group Art Unit: 1619
Application No.: 09/830,986	Examiner: M. Willis
Filed: April 20, 2001	
For: MUCO-ADHESIVE POLYMERS, USE THEREOF AND METHOD FOR PRODUCING THE SAME	

DECLARATION

Assistant Commissioner for Patents
Washington, D.C. 20231

Sir:

I, Andreas Bernkop-Schnurch, Ph.D., hereby declare as follows:

1. I am the named inventor for the above-identified application.

2. My Curriculum Vitae is attached hereto as Appendix A.

3. I am a person of at least ordinary skill in the art of mucoadhesives.

4. I have read the instant application as well as the Official Action dated March 27, 2002, and Constancis et al, U.S. Patent No. 5,496,872, which is cited therein.

5. I do not agree with the assertions in the Official Action that the instantly claimed invention is disclosed by or obvious in view of Constancis et al, U.S. Patent No. 5,496,872, or is obvious in view of Bernkop-Schnurch in combination with Constancis.

6. The instant invention relates to mucoadhesive polymers having improved properties. The improved mucoadhesive polymers "enable a targeted introduction of active substance in mucus layers, wherein a stable presence at the target site shall be enabled." By

this invention, an effective and efficient active substance delivery system is provided "by which an improved and thus also extended adhesion of drug on the mucosae can be attained." Page 2, ¶2, of the application.

7. The term "mucoadhesive" is recognized in the art. It is a term of art that is used to describe a particular class of polymers. U.S. Patent No. 5,047,244, for example, defines "mucoadhesive"as being "a material that adheres to a mucosal tissue surface in-vivo and/or in-vitro. Such adhesion will adherently localize the dosage form onto the mucus membrane and requires the application of a force of at least about 50 dynes/cm^2 to separate the mucoadhesive material from the mucus membrane." Col. 3, lns. 21-27.

8. The term "mucoadhesive," as used herein, is a polymer that adheres to the mucus layer covering a mucosal tissue surface in-vivo and/or in-vitro. Such adhesion has to be higher than at least 83 μJ for the total work of adhesion (TWA) described for tensile studies with dry compacts, according to Bernkop-Schnürch et al. *Pharm. Res.* 16, 1999, 876-881.

9. Mucoadhesive polymers are recognized in the art as including polyacrylates, (e.g., carbomer, polycarophil, carbopol, etc.), cellulose derivatives (e.g., sodium carboxymethylcellulose, hydroxypropylcelulose, etc.), hyaluronic acid, alginate, pectin and chitosan. *See, e.g.*, Bernkop-Schnürch, A. (2002). *Mucoadhesive Polymers In: Polymeric Biomaterials* 2nd edition (Ed. Severian Dumitriu) Marcel Dekker, New York.

Hagerstrom H, Edsman K. "Interpretation of mucoadhesive properties of polymer gel preparations using a tensile strength method." *J Pharm Pharmacol* 2001 Dec; 53(12):1589-99

Eouani C, Piccerelle P, Prinderre P, Bourret E, Joachim J. "In-vitro comparative study of buccal mucoadhesive performance of different polymeric films." *Eur J Pharm Biopharm* 2001 Jul; 52(1):45-55;

Singla AK, Chawla M, Singh A. "Potential applications of carbomer in oral mucoadhesive controlled drug delivery system: a review." *Drug Dev Ind Pharm* 2000 Sep; 26(9):913-24;

Kerec M, Bogataj M, Mugerle B, Gasperlin M, Mrhar A. "Mucoadhesion on pig vesical mucosa: influence of polycarbophil/calcium interactions." *Int J Pharm* 2002 Jul 8; 241(1):135-43;

Solomonidou D, Cremer K, Krumme M, Kreuter J. "Effect of carbomer concentration and degree of neutralization on the mucoadhesive properties of polymer films." *J Biomater Sci Polym Ed* 2001;12(11):1191-205;

Adriaens E, Remon JP, Ludwig A. "Evaluation of a mucoadhesive tablet for ocular use." Ceulemans J, Vermeire A, *J Control Release* 2001 Dec 13; 77(3):333-44;

Bernkop-Schnurch A, Gilge B., "Anionic mucoadhesive polymers as auxiliary agents for the peroral administration of (poly)peptide drugs: influence of the gastric juice." *Drug Dev Ind Pharm.* 2000 Feb; 26(2):107-13.

10. Constancis does not disclose or suggest "mucoadhesive" polymers, as instantly claimed. Constancis instead relates to "biocompatible and biodegradable surgical adhesives based on non-toxic products." Col. 1, lns. 39-42. More specifically, Constancis discloses biological "glues or gluing material." Col. 5, lns. 24-25. It is obvious that nobody would use

a surgical adhesive to try to connect one mucus gel layer with another, or to try to connect a mucus gel layer with a tissue.

11. The bioadhesives of Constancis are not "mucoadhesives." This would be apparent to a person skilled in the art. For example, Constancis' bioadhesives would not adhere to the mucosa with the same strength as a mucoadhesive polymer, for example, as taught by the '244 Patent. This is because they do not fulfill the minimal criteria to be mucoadhesive. For instance, in the teaching book *Drug Delivery Systems* (Ellis Horwood, New York), G. Hunt, P. Kearney and I. Kellaway defined criteria for polymers to be mucoadhesive in the chapter "Mucoadhesive polymers in drug delivery systems" as follows:

- Strong H-bonding groups (-OH, -COOH)
- Strong anionic charges
- Sufficient flexibility to penetrate the mucus network
- Surface tension characteristics suitable for wetting mucus/mucosal tissue surfaces
- High molecular weight

In contrast to the mucoadhesive polymers mentioned in ¶8 *supra* and also as claimed in my patent application, not even a single point out of these five is fulfilled by the monomers/polymers described by Constancis.

12. My invention relates to the surprising discovery that by introducing at least one non-terminal thiol group into a mucoadhesive polymer having not more than 10 different monomers, the mucoadhesive properties of the polymer are greatly improved. This discovery was unexpected. I discovered that the mucoadhesive polymers having the non-terminal thiol

group could form reversible, covalent bonds with the cysteine-rich subdomains of the mucus glycoproteins (*see*, Figure 1 of the application). These bonds allow for a stable localization of the polymers on the mucus layer of certain mucosal membranes. *See also*, page 3 of the application.

13. Unexpectedly, the mucoadhesive polymers of my invention have significantly improved binding capacity to intestinal mucosa. As stated *supra*, the most frequently used mucoadhesive polymers are polymers such as mentioned in claim 3 of the instant application, *e.g.*, polyacrylates (Carbomer, Polycarbophil, Carbopol, *etc.*), cellulose derivatives (sodium carboxymethylcellulose, hydroxypropylcellulose, *etc.*), hyaluronic acid, alginate, pectin and chitosan.

14. In the following table the mucoadhesive properties of these polymers is listed. In addition, the improvement in the mucoadhesive properties of these polymers by the immobilization of thiol groups is shown as well.

Polymer	**Total work of adhesion in µJ; means ± SD (n= 3-8)**	**Reference**
polycarbophil	110 ±28	A. Bernkop-Schnürch, V. Schwarz, S. Steininger, Polymers with thiol groups: a new generation of mucoadhesive polymers? Pharm. Res. 16 (1999) 876-881.
thiolated polycarbophil	280 ±68	
sodium carboxymethyl cellulose	108 ±17	A. Bernkop-Schnürch, S. Steininger, Synthesis and characterisation of mucoadhesive thiolated polymers, Int. J. Pharm. 194 (2000) 239-247.

thiolated sodium carboxymethyl cellulose	157 ±6	
chitosan HCl	23 ±10	C.E. Kast, A. Bernkop-Schnürch, Thiolated polymers: development and in vitro evaluation of chitosan-thioglycolic acid conjugates, Biomaterials 22 (2001) 2345-2352.
thiolated chitosan	234 ±0	
sodium alginate	26 ±1	A. Bernkop-Schnürch, C.E. Kast, M.F. Richter, Improvement in the mucoadhesive properties of alginate by the covalent attachment of cysteine, J. Control. Release 71 (2001) 277-285.
thiolated sodium alginate	102 ±36	

15. This strong improvement in the mucoadhesive properties was unexpected. It is based on thiol/disulfide exchange reactions between the polymer and the mucus layer. Prior to my invention, there was nothing reported in the literature about thiolated mucoadhesive polymers. This can be documented by the reviewer's report of the first publication submitted about thiolated mucoadhesive polymers. In the top-journal for this research field (*Pharmaceutical Research*) it is written about a "brilliant idea."

16. In addition, nothing is reported about this mechanism by Constancis et al.

17. I believe that the instant invention is truly novel. The improved properties of the mucoadhesive polymers I found were not suggested in the art generally, or more specifically in Constancis.

18. I further declare that I am aware that willful false statements and the like are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code, and my jeopardize the validity of any patent application or any patent issuing thereon. All statements made of my own knowledge are true, and all statements made on information and belief are believed to be true.

28th August 2002
Date

Andreas Bernkop-Schnurch, Ph.D.

MS# 98/338 report number 98/338/c

Polymers with thi l gr ups: a new generation of mucoadhesive polymers?

by Bernkop-Schnürch, Schwarz V, Steiniger S

General comments

This is a well written article* making use of the cleavage of disulfide bonds by compounds containing thiols as acetylcysteine. This well known reaction is exploited to increase unspecific mucoadhesion by cleaving the disulfide bridge of mucus with acetylcysteine coupled to polycarbophil with the result that polycarbophil is covalently linked to mucus. This idea is brillant and there is some evidence given in the paper that it really works although the experimental in vitro circumstances especially if (synthetic) mucus is involved are very complex for a sound interpretation. The referee therefore suggests to include in this article simple ex-vivo methods as e.g. measuring of residence times of polymer-cysteine conjugates beads compared to polycarbophil beads in freshly isolated gut of rats or pigs as e.g. described by Lehr et al. in STP Pharma 5 (1989) 857-862 to have more evidence of improved mucoadhesion under physiological conditions.
Such a proof would also allow to omit the questionmark at the end of the title because then enough evidence is given that polymers containing thiol groups may be a new generation of mucoadhesive polymers if there are no toxicological contraints to use them.

* (the English could be improved by the desk editor and there are some minor typing errors).

Curriculum vitae

Name: Univ.-Prof. Dr. Andreas BERNKOP SCHNÜRCH
Current address: A-1050 Vienna, Christophg.6/11
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Marital status: single

May 2002	Offer for the Chair in Pharmaceutical Technology and Biopharmaceutics, Leopold-Franzens-Universität, Innsbruck
February 2002	First position in the ranking for the Chair in Pharmaceutical Technology and Biopharmaceutics, Leopold-Franzens-Universität, Innsbruck, Austria
April 2001	Offer for the C3-Professur, Institute of Pharmaceutical Technology and Biopharmaceutics, Ludwig-Maximilians-University, Munich, Germany
June 2000	Offer for the Chair in Pharmaceutics, School of Pharmacy, University of London
since March 1999	Associate Professor, permanent position at the Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna
Nov. 1998	Habilitation for Pharmaceutical Technology, University of Vienna
April 1998	Second position in the ranking for the Chair in Pharmaceutical Technology and Biopharmaceutics (C4-Professor), Ludwig-Maximilians-University, Munich, Germany
May 1996	Proof of qualification for the wholesale trade of drugs
since 1994	Reader for: 'Peptide and Protein Drugs' 'Manufacturing of Cosmetics' and 'Manufacturing of Dosage Forms'
Oct. 1993 - May 1994	Military service
April 1994	Graduation as doctor for natural sciences at the University of Vienna
June 1991 - Oct. 1992	Scientific work at the Institute of Microbiology and Genetics, University of Vienna
since March 1991	Employment as 'University Assistant' at the Institute of Pharmaceutical Technology, University of Vienna
1990-1991	Practicing at a pharmacy in Vienna
Feb. 1990	Master degree at the University of Vienna
1984-1990	Study of pharmacy at the University of Vienna
1976-1984	Secondary school (Bundesgymnasium, St. Veit/Glan) -stress on natural science
1972-1976	Public primary school in St. Veit/Glan

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Research field: Development of drug delivery systems

(Poly)peptide drug delivery systems
Enzyme-inhibitors as auxiliary agents
Mucoadhesive polymers
Permeation enhancement
Sustained release systems
Evaluation of drug absorption from mucosal tissues
Evaluation of the presystemic metabolism of orally given drugs

Original research articles

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Bernkop-Schnürch, A.: Thiolisierte Polymere in Peptidwirkstoff-abgabesystemen, FWF-Project (*Nov. 2001 – Sept. 2004; 300.000 Euro*)

Bernkop-Schnürch, A.: Development of Drug Delivery Systems for the Peroral Administration of Peptide and Protein Drugs, *FWF-Project (Jul. 1998 – Oct. 2001; 121,000 Euro)*

Bernkop-Schnürch, A.: Development of Drug Carrier Systems with Improved Mucoadhesive Properties, *FWF-Project (Nov. 1999 – Dec. 2002; 180,000 Euro)*

Bernkop-Schnürch, A.: Entwicklung magensaftresistenter Arzneiformen für die perorale Applikation von Peptid- und Proteinwirkstoffen, *Projekt der Hochschuljubiläumsstiftung der Stadt Wien (Jan. 1999 – Jun. 2000; 2,500 Euro)*

Wugeditsch, Th., and Bernkop-Schnürch, A.: Entwicklung und Evaluierung von Ocularia basierend auf neuartigen mukoadhäsiven Polymeren, *FWF-Impuls-Project (Aug. 2000 – Jul. 2002; 75,000 Euro)*

Bernkop-Schnürch, A.:Thiolated Polymers in Peptide Drug Delivery Systems, *FWF-Project (Nov. 2001 – Oct. 2004; 300,000 Euro)*

Bernkop-Schnürch, A.: Der Einfluß von Thiolteilstrukturen auf die parazelluläre Permeation von Peptidwirkstoffen, *Projekt der Hochschuljubiläumsstiftung der Stadt Wien (Jan. 2002 – Jun. 2002; 2,100 Euro)*

Industrial Projects:

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(*Development of oral protein delivery systems,* ***Project leader:*** *Mag. B. LOTZ*)

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Prizes awarded:

HERBA-Award 1997 (3,000 Euro)

Research-Award of the City of Vienna 1999 (3,000 Euro)

Eurand-Award 2000 (1,500 Euro)

Best of Biotech Award 2001 Phase I (725 Euro)

Best of Biotech Award 2001 Phase II (1,450 Euro)

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Oral Presentations:

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